10697547 6/16/06

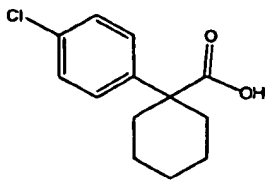
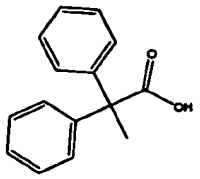
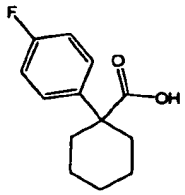
=> logoff
ALL L# QUERIES AND ANSWER SETS ARE DELETED AT LOGOFF
LOGOFF? (Y)/N/HOLD:y

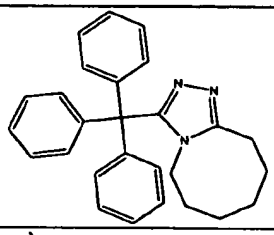
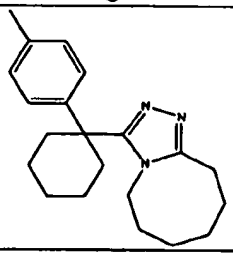
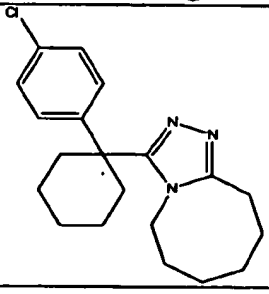
COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	110.07	277.22

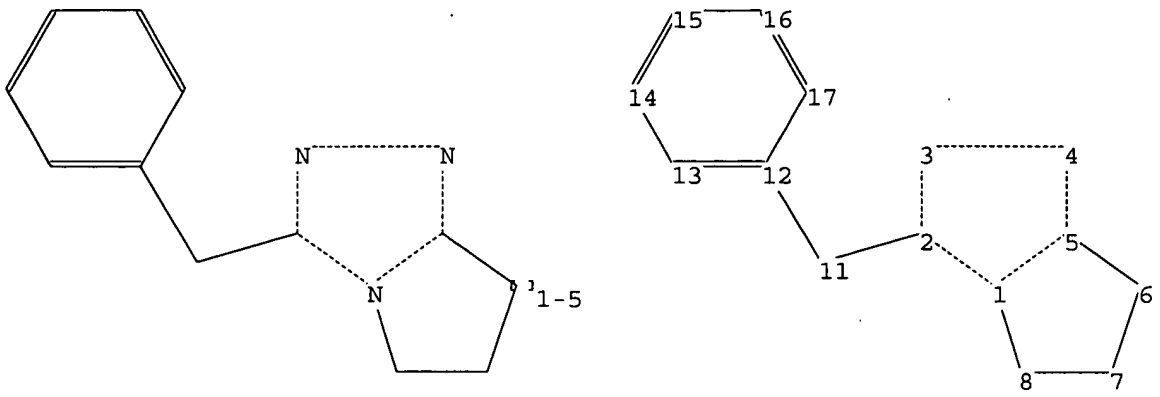
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-15.75	-15.75

STN INTERNATIONAL LOGOFF AT 15:34:13 ON 16 JUN 2006

10/697,597 6730690 10/457,682 divide

2-3		2-4	
2-5			

Cpd	Structure (parent)	Name	Retention Time (min)	MS ESI (*m/z*)
2-1		3-trityl-5,6,7,8,9,10-hexahydro[1,2,4] triazolo[4,3-*a*]azocine	2.98	394.3
2-2		3-[1-(4-methylphenyl)cyclo hexyl]-5,6,7,8,9,10-hexahydro[1,2,4]tri azolo[4,3-*a*]azocine	2.68	324.3
2-3		3-[1-(4-chlorophenyl)cyclo hexyl]-5,6,7,8,9,10-hexahydro[1,2,4]tri azolo[4,3-*a*]azocine	2.71	344.2

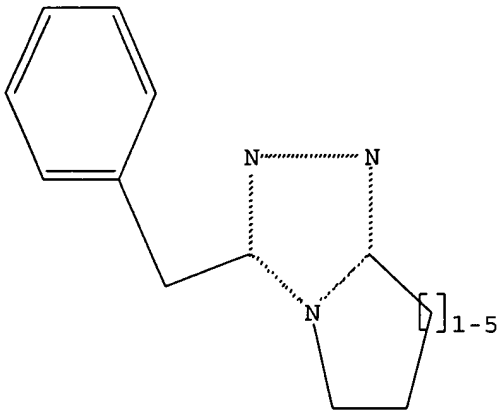


chain nodes :
11
ring nodes :
1 2 3 4 5 6 7 8 12 13 14 15 16 17
chain bonds :
2-11 11-12
ring bonds :
1-2 1-5 1-8 2-3 3-4 4-5 5-6 6-7 7-8 12-13 12-17 13-14 14-15 15-16
16-17
exact/norm bonds :
1-2 1-5 1-8 2-3 3-4 4-5 5-6 6-7 7-8
exact bonds :
2-11 11-12
normalized bonds :
12-13 12-17 13-14 14-15 15-16 16-17
isolated ring systems :
containing 12 :

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 11:CLASS 12:Atom
13:Atom 14:Atom 15:Atom 16:Atom 17:Atom

L1 STRUCTURE UPLOADED

=> d
L1 HAS NO ANSWERS
L1 STR



Structure attributes must be viewed using STN Express query preparation.

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SAMPLE SEARCH INITIATED 15:30:17 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -       27 TO ITERATE

100.0% PROCESSED       27 ITERATIONS                                 7 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:          229 TO      851
PROJECTED ANSWERS:               7 TO      298

L2            7 SEA SSS SAM L1

=> s l1 full
FULL SEARCH INITIATED 15:30:22 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -      592 TO ITERATE

100.0% PROCESSED      592 ITERATIONS                                97 ANSWERS
SEARCH TIME: 00.00.01

L3           97 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                   166.94     167.15

FILE 'CAPLUS' ENTERED AT 15:30:27 ON 16 JUN 2006
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
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10697547 6/16/06

FILE COVERS 1907 - 16 Jun 2006 VOL 144 ISS 26
FILE LAST UPDATED: 15 Jun 2006 (20060615/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply.
They are available for your review at:

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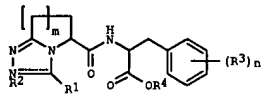
=> s l3
L4 21 L3

=> d ibib abs hitstr tot

L4 ANSWER 1 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2006:470196 CAPLUS
DOCUMENT NUMBER: 144:488937
TITLE: Preparation of bicyclic triazole amino acid derivatives as α4 integrin inhibitors
INVENTOR(S): Lawson, Edward C.; Maryanoff, Bruce E.
PATENT ASSIGNEE(S): Janssen Pharmaceutica, N.V., Belg.
SOURCE: PCT Int. Appl., 69 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006052962	A2	20060518	WO 2005-US40419	20051108
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
US 2006128748	A1	20060615	US 2005-269369	20051108

PRIORITY APPLN. INFO.: US 2004-626806P P 20041110
GI



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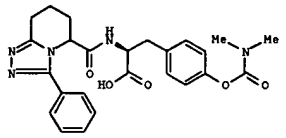
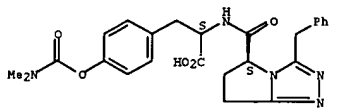


II

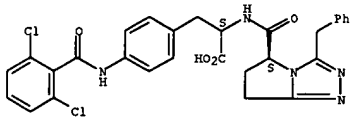
L4 ANSWER 1 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
AB The invention relates to novel bicyclic triazole amino acid derivs. I [R1 is H, (un)substituted alkyl, aryl or oxo (when R1 is a substituent other than oxo, a double bond exists between N and the carbon bearing R1 and when R1 is oxo then R2 is present); R2 is H, alkyl, arylalkyl, heteroarylalkyl; R3 is alkoxy, heterocyclyl, aryl, carbamoyl groups, halo, etc.; R4 is H or alkyl; m is 1 or 2; n is 0-3] or pharmaceutically-acceptable enantiomers, salts, etc., which are useful as α4 integrin receptor antagonists and may be used to treat inflammatory, autoimmune, cell-proliferative and other integrin-mediated disorders. Thus, compound II was prepared by N-acylation of O-(dimethylcarbamoyl)-L-tyrosine and assayed for inhibition of integrin receptors α4β1 and α4β7 (IC50 = 3.30 and 1.22 μM, resp.).
IT **874959-99-6P 874960-00-6P 874960-01-7P**
874960-02-8P 874960-03-9P 874960-04-0P
874960-10-8P 874960-13-1P 887111-31-1P
887111-32-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of bicyclic triazole amino acid derivs. as α4 integrin inhibitors)
RN 874959-99-6 CAPLUS
CN L-Tyrosine, N-[[(5S)-6,7-dihydro-3-(phenylmethyl)-5H-pyrrolo[2,1-c]-1,2,4-triazol-5-yl]carbonyl]-, dimethylcarbamate (ester) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 874960-00-6 CAPLUS
CN L-Phenylalanine, 4-[(2,6-dichlorobenzoyl)amino]-N-[[(5S)-6,7-dihydro-3-(phenylmethyl)-5H-pyrrolo[2,1-c]-1,2,4-triazol-5-yl]carbonyl]- (9CI) (CA INDEX NAME)

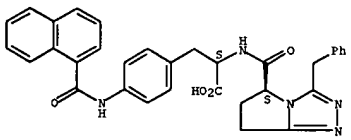
Absolute stereochemistry.



RN 874960-01-7 CAPLUS

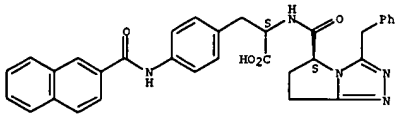
L4 ANSWER 1 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
CN L-Phenylalanine, N-[[(5S)-6,7-dihydro-3-(phenylmethyl)-5H-pyrrolo[2,1-c]-1,2,4-triazol-5-yl]carbonyl]-4-[(1-naphthalenylcarbonyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



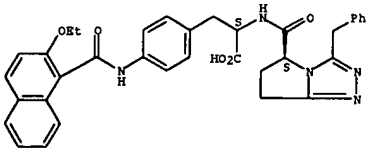
RN 874960-02-8 CAPLUS
CN L-Phenylalanine, N-[[(5S)-6,7-dihydro-3-(phenylmethyl)-5H-pyrrolo[2,1-c]-1,2,4-triazol-5-yl]carbonyl]-4-[(2-naphthalenylcarbonyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 874960-03-9 CAPLUS
CN L-Phenylalanine, N-[[(5S)-6,7-dihydro-3-(phenylmethyl)-5H-pyrrolo[2,1-c]-1,2,4-triazol-5-yl]carbonyl]-4-[[(2-ethoxy-1-naphthalenyl)carbonyl]amino]- (9CI) (CA INDEX NAME)

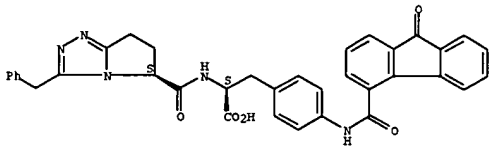
Absolute stereochemistry.



RN 874960-04-0 CAPLUS
CN L-Phenylalanine, N-[[(5S)-6,7-dihydro-3-(phenylmethyl)-5H-pyrrolo[2,1-c]-1,2,4-triazol-5-yl]carbonyl]-4-[[(9-oxo-9H-fluoren-4-yl)carbonyl]amino]- (9CI) (CA INDEX NAME)

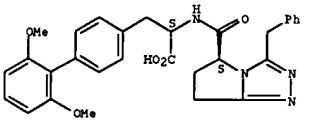
L4 ANSWER 1 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

Absolute stereochemistry.



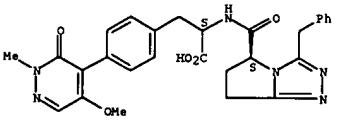
RN 874960-10-8 CAPLUS
CN [1,1'-Biphenyl]-4-propanoic acid, α-[[[(5S)-6,7-dihydro-3-(phenylmethyl)-5H-pyrrolo[2,1-c]-1,2,4-triazol-5-yl]carbonyl]amino]-2',6'-dimethoxy-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 874960-13-1 CAPLUS
CN L-Phenylalanine, 4-(2,3-dihydro-5-methoxy-2-methyl-3-oxo-4-pyridazinyl)-N-[[(5S)-6,7-dihydro-3-(phenylmethyl)-5H-pyrrolo[2,1-c]-1,2,4-triazol-5-yl]carbonyl]- (9CI) (CA INDEX NAME)

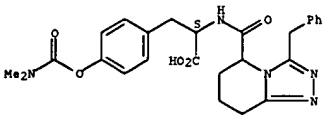
Absolute stereochemistry.



RN 887111-31-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

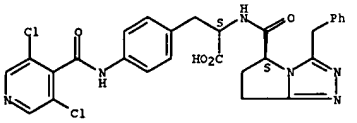
Absolute stereochemistry.

L4 ANSWER 1 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



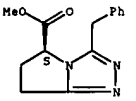
RN 887111-32-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



IT **874960-18-6P 887111-37-7P 887111-38-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of bicyclic triazole amino acid derivs. as α4 integrin inhibitors)
RN 874960-18-6 CAPLUS
CN 5H-Pyrrolo[2,1-c]-1,2,4-triazole-5-carboxylic acid, 6,7-dihydro-3-(phenylmethyl)-, methyl ester, (5S)- (9CI) (CA INDEX NAME)

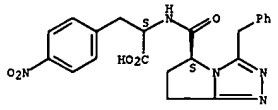
Absolute stereochemistry.



RN 887111-37-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

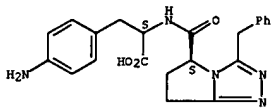
Absolute stereochemistry.

L4 ANSWER 1 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



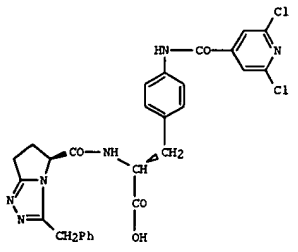
RN 887111-38-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



L4 ANSWER 2 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2006:23900 CAPLUS
DOCUMENT NUMBER: 144:184029
TITLE: Synthesis and biological evaluation of 1,2,4-triazolo[2,3-a]pyrrole derivatives as alpha-4 (α4) integrin antagonists
AUTHOR(S): Lawson, Edward C.; Kinney, William A.; Santulli, Rosemary J.; Fisher, Carol M.; Damiano, Bruce P.; Maryanoff, Bruce E.
CORPORATE SOURCE: Vascular Research Team, Johnson and Johnson Pharmaceutical Research and Development, Spring House, PA, 19477-0776, USA
SOURCE: Letters in Drug Design & Discovery (2005), 2(8), 601-605
CODEN: LDDDAW; ISSN: 1570-1808
PUBLISHER: Bentham Science Publishers Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



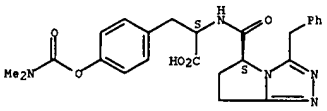
I

AB In exploring for templates to devise novel antagonists for the integrins α4β1 and α4β7, was a series of compds. identified possessing a 1,2,4-triazolo[2,3-a]pyrrole structural subunit. Compound I, for example, was found to antagonize α4β1-VCAM-1 and α4β7-MAdCAM-1 adhesion with IC50 values of 80 and 20 nM, resp.

IT **874959-99-5P 874960-00-6P 874960-01-7P**
874960-02-8P 874960-03-9P 874960-04-0P
874960-05-1P 874960-10-8P 874960-13-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(synthesis and biol. evaluation of triazolo[2,3-a]pyrrole derivs. as α4-integrin antagonists)
RN 874959-99-5 CAPLUS
CN L-Tyrosine, N-[[(5S)-6,7-dihydro-3-(phenylmethyl)-5H-pyrrolo[2,1-c]-1,2,4-triazol-5-yl]carbonyl]-, dimethylcarbamate (ester) (9CI) (CA INDEX NAME)

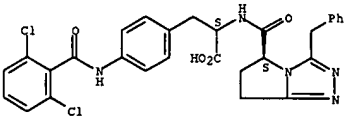
L4 ANSWER 2 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

Absolute stereochemistry.



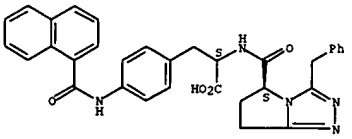
RN 874960-00-6 CAPLUS
CN L-Phenylalanine, 4-[(2,6-dichlorobenzoyl)amino]-N-[[(5S)-6,7-dihydro-3-(phenylmethyl)-5H-pyrrolo[2,1-c]-1,2,4-triazol-5-yl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 874960-01-7 CAPLUS
CN L-Phenylalanine, N-[[(5S)-6,7-dihydro-3-(phenylmethyl)-5H-pyrrolo[2,1-c]-1,2,4-triazol-5-yl]carbonyl]-4-[(1-naphthalenylcarbonyl)amino]- (9CI) (CA INDEX NAME)

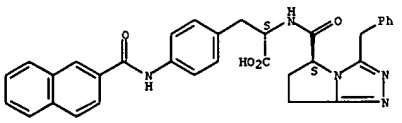
Absolute stereochemistry.



RN 874960-02-8 CAPLUS
CN L-Phenylalanine, N-[[(5S)-6,7-dihydro-3-(phenylmethyl)-5H-pyrrolo[2,1-c]-1,2,4-triazol-5-yl]carbonyl]-4-[(2-naphthalenylcarbonyl)amino]- (9CI) (CA INDEX NAME)

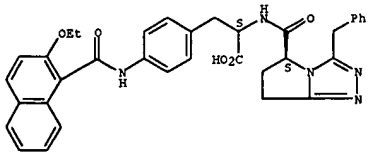
Absolute stereochemistry.

L4 ANSWER 2 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



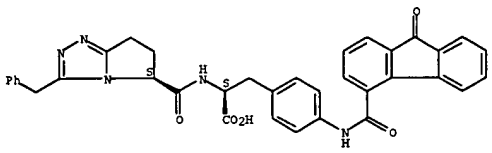
RN 874960-03-9 CAPLUS
CN L-Phenylalanine, N-[[(5S)-6,7-dihydro-3-(phenylmethyl)-5H-pyrrolo[2,1-c]-1,2,4-triazol-5-yl]carbonyl]-4-[[[2-ethoxy-1-naphthalenyl)carbonyl]amino]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 874960-04-0 CAPLUS
CN L-Phenylalanine, N-[[(5S)-6,7-dihydro-3-(phenylmethyl)-5H-pyrrolo[2,1-c]-1,2,4-triazol-5-yl]carbonyl]-4-[[(9-oxo-9H-fluoren-4-yl)carbonyl]amino]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

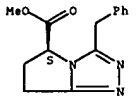


RN 874960-05-1 CAPLUS
CN L-Phenylalanine, 4-[[(2,6-dichloro-4-pyridinyl)carbonyl]amino]-N-[[(5S)-6,7-dihydro-3-(phenylmethyl)-5H-pyrrolo[2,1-c]-1,2,4-triazol-5-yl]carbonyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
α4-integrin antagonists)

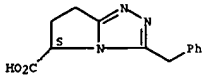
RN 874960-18-6 CAPLUS
CN 5H-Pyrrolo[2,1-c]-1,2,4-triazole-5-carboxylic acid, 6,7-dihydro-3-(phenylmethyl)-, methyl ester, (5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



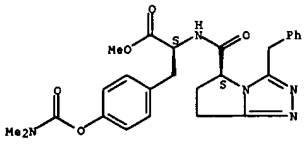
RN 874960-24-4 CAPLUS
CN 5H-Pyrrolo[2,1-c]-1,2,4-triazole-5-carboxylic acid, 6,7-dihydro-3-(phenylmethyl)-, (5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 874960-32-4 CAPLUS
CN L-Tyrosine, N-[[(5S)-6,7-dihydro-3-(phenylmethyl)-5H-pyrrolo[2,1-c]-1,2,4-triazol-5-yl]carbonyl]-, methyl ester, dimethylcarbamate (ester) (9CI) (CA INDEX NAME)

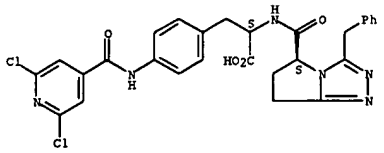
Absolute stereochemistry.



RN 874960-33-5 CAPLUS
CN L-Phenylalanine, 4-[(2,6-dichlorobenzoyl)amino]-N-[[(5S)-6,7-dihydro-3-(phenylmethyl)-5H-pyrrolo[2,1-c]-1,2,4-triazol-5-yl]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

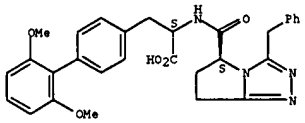
Absolute stereochemistry.

L4 ANSWER 2 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
Absolute stereochemistry.



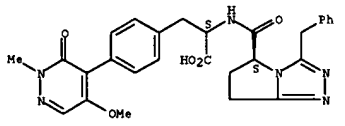
RN 874960-10-8 CAPLUS
CN [1,1'-Biphenyl]-4-propanoic acid, α-[[[(5S)-6,7-dihydro-3-(phenylmethyl)-5H-pyrrolo[2,1-c]-1,2,4-triazol-5-yl]carbonyl]amino]-2',6'-dimethoxy-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



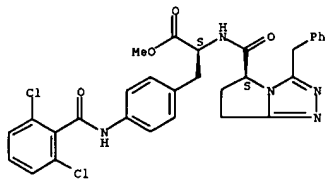
RN 874960-13-1 CAPLUS
CN L-Phenylalanine, 4-(2,3-dihydro-5-methoxy-2-methyl-3-oxo-4-pyridazinyl)-N-[[(5S)-6,7-dihydro-3-(phenylmethyl)-5H-pyrrolo[2,1-c]-1,2,4-triazol-5-yl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



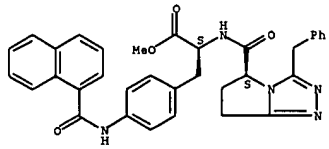
IT 874960-18-6P 874960-24-4P 874960-32-4P
874960-33-5P 874960-34-6P 874960-35-7P
874960-36-8P 874960-37-9P 874960-38-0P
874960-43-7P 874960-46-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis and biol. evaluation of triazolo[2,3-a]pyrrole derivs. as

L4 ANSWER 2 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



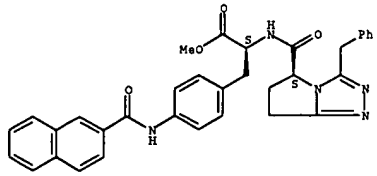
RN 874960-34-6 CAPLUS
CN L-Phenylalanine, N-[[(5S)-6,7-dihydro-3-(phenylmethyl)-5H-pyrrolo[2,1-c]-1,2,4-triazol-5-yl]carbonyl]-4-[(1-naphthalenylcarbonyl)amino]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 874960-35-7 CAPLUS
CN L-Phenylalanine, N-[[(5S)-6,7-dihydro-3-(phenylmethyl)-5H-pyrrolo[2,1-c]-1,2,4-triazol-5-yl]carbonyl]-4-[(2-naphthalenylcarbonyl)amino]-, methyl ester (9CI) (CA INDEX NAME)

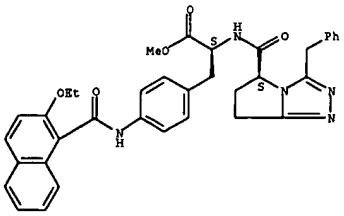
Absolute stereochemistry.



RN 874960-36-8 CAPLUS
CN L-Phenylalanine, N-[[(5S)-6,7-dihydro-3-(phenylmethyl)-5H-pyrrolo[2,1-c]-1,2,4-triazol-5-yl]carbonyl]-4-[[(2-ethoxy-1-naphthalenyl)carbonyl]amino]-

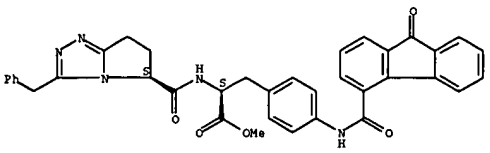
L4 ANSWER 2 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 874960-37-9 CAPLUS
CN L-Phenylalanine, N-[[(5S)-6,7-dihydro-3-(phenylmethyl)-5H-pyrrolo[2,1-c]-1,2,4-triazol-5-yl]carbonyl]-4-[[(9-oxo-9H-fluoren-4-yl)carbonyl]amino]-, methyl ester (9CI) (CA INDEX NAME)

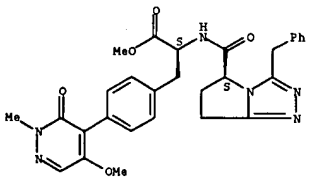
Absolute stereochemistry.



RN 874960-38-0 CAPLUS
CN L-Phenylalanine, 4-[[(2,6-dichloro-4-pyridinyl)carbonyl]amino]-N-[[(5S)-6,7-dihydro-3-(phenylmethyl)-5H-pyrrolo[2,1-c]-1,2,4-triazol-5-yl]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

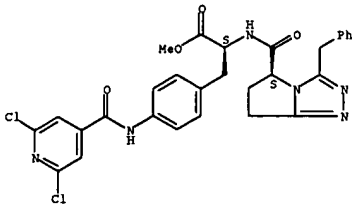
Absolute stereochemistry.

L4 ANSWER 2 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



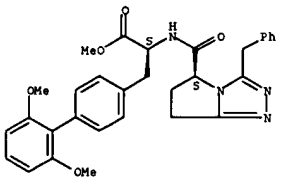
REFERENCE COUNT: 43 THERE ARE 43 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 874960-43-7 CAPLUS
CN [1,1'-Biphenyl]-4-propanoic acid, α-[[[(5S)-6,7-dihydro-3-(phenylmethyl)-5H-pyrrolo[2,1-c]-1,2,4-triazol-5-yl]carbonyl]amino]-2',6'-dimethoxy-, methyl ester, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 874960-46-0 CAPLUS
CN L-Phenylalanine, 4-(2,3-dihydro-5-methoxy-2-methyl-3-oxo-4-pyridazinyl)-N-[[(5S)-6,7-dihydro-3-(phenylmethyl)-5H-pyrrolo[2,1-c]-1,2,4-triazol-5-yl]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

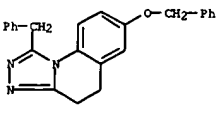
Absolute stereochemistry.

L4 ANSWER 3 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:952203 CAPLUS
DOCUMENT NUMBER: 143:398867
TITLE: Synthesis and anticonvulsant activity of 1-substituted-7-benzyloxy-4,5-dihydro-[1,2,4]triazolo[4,3-a]quinoline
AUTHOR(S): Cui, Li-Jing; Xie, Zhi-Feng; Piao, Hu-Ri; Li, Gao; Chai, Kyu-Yun; Quan, Zhe-Shan
CORPORATE SOURCE: College of Pharmacy, Yanbian University, Jilin, 133000, Peop. Rep. China
SOURCE: Biological & Pharmaceutical Bulletin (2005), 28(7), 1216-1220
CODEN: BPBLEO; ISSN: 0918-6158
PUBLISHER: Pharmaceutical Society of Japan
DOCUMENT TYPE: Journal
LANGUAGE: English

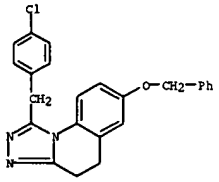
AB Starting from 6-hydroxy-3,4-dihydro-1H-quinoline-2-one, a series of 1-substituted-7-benzyloxy-4,5-dihydro-[1,2,4]triazolo[4,3-a]quinolines was synthesized and their structures were characterized using IR, 1H-NMR, MS, and elemental anal. techniques. Anticonvulsant activity was evaluated in the maximal electroshock (MES) test, s.c. pentylenetetrazol (scMet) test, and rotarod neurotoxicity test. The most active compound was 7-benzyloxy-4,5-dihydro-[1,2,4]triazolo[4,3-a]quinoline 4a. Its ED50 in the MES and scMet tests was 17.3 and 24 mg · kg-1, resp. The safest compound was 4g, 1-phenyl-7-benzyloxy-4,5-dihydro-[1,2,4]triazolo[4,3-a]quinoline, with TD50 and protective index (PI) (PI=TD50/ED50) values of greater than 300 mg · kg-1 and 13, resp. The PI value of compound 4g was better than that of most marketed drugs. Structure-activity relationships are also described in this paper.

IT 867151-34-6P
RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(synthesis and anticonvulsant activity of 1-substituted-7-benzyloxy-4,5-dihydro-[1,2,4]triazolo[4,3-a]quinoline)
RN 867151-34-6 CAPLUS
CN [1,2,4]Triazolo[4,3-a]quinoline, 4,5-dihydro-7-(phenylmethoxy)-1-(phenylmethyl)- (9CI) (CA INDEX NAME)



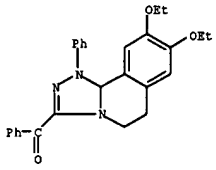
IT 867151-35-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(synthesis and anticonvulsant activity of 1-substituted-7-benzyloxy-4,5-dihydro-[1,2,4]triazolo[4,3-a]quinoline)
RN 867151-35-7 CAPLUS
CN [1,2,4]Triazolo[4,3-a]quinoline, 1-[(4-chlorophenyl)methyl]-4,5-dihydro-7-(phenylmethoxy)- (9CI) (CA INDEX NAME)

L4 ANSWER 3 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



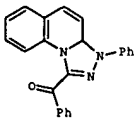
REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:951698 CAPLUS
DOCUMENT NUMBER: 144:467615
TITLE: Amidines (imidamides) N-substituted by metals, halogens, oxygen, and other heteroatoms
AUTHOR(S): Ostrowska, K.; Kolasa, A.
CORPORATE SOURCE: Germany
SOURCE: Science of Synthesis (2005), 22, 489-563
CODEN: SSCYJ9
PUBLISHER: Georg Thieme Verlag
DOCUMENT TYPE: Journal; General Review
LANGUAGE: English
AB A review of the preparation and synthetic applications of amidine derivs.
IT **433216-35-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(review preparation and synthetic applications of amidine derivs.)
RN 433216-35-4 CAPLUS
CN Methanone, (8,9-diethoxy-1,5,6,10b-tetrahydro-1-phenyl-1,2,4-triazolo[3,4-a]isoquinolin-3-yl)phenyl- (9CI) (CA INDEX NAME)



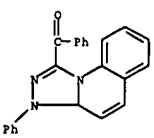
REFERENCE COUNT: 838 THERE ARE 838 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:489137 CAPLUS
DOCUMENT NUMBER: 143:153331
TITLE: Synthesis of new azolyl azoles and azinyl azoles
AUTHOR(S): Al-Saleh, Balkis; El-Apasery, Morsy Ahmed; Elnagdi, Mohamed Hilmy
CORPORATE SOURCE: Department of Chemistry, Faculty of Science, University of Kuwait, Safat, 13060, Kuwait
SOURCE: Journal of Heterocyclic Chemistry (2005), 42(4), 483-486
CODEN: JHTCAD; ISSN: 0022-152X
PUBLISHER: HeteroCorporation
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 143:153331
GI



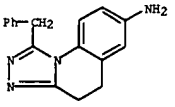
I

AB Synthesis of azolyl azoles and azinyl azoles from the reaction of N-(oxoalkyl)benzotriazoles, -pyridinium bromides, or imidazolium bromide with Ph isothiocyanate is reported. N-(oxopropyl)imidazole reacted with benzene diazonium chloride to yield either phenylhydrazones or the triazoloquinoline I.
IT **860263-61-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of benzoyl(phenyl)dihydrotriazoloquinoline via heterocyclization of (benzoylmethyl)quinolinium bromide with phenyldiazonium bromide)
RN 860263-61-2 CAPLUS
CN Methanone, (3,3a-dihydro-3-phenyl[1,2,4]triazolo[4,3-a]quinolin-1-yl)phenyl- (9CI) (CA INDEX NAME)

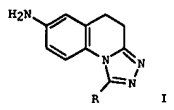


REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

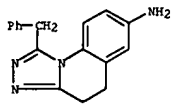
L4 ANSWER 6 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:253355 CAPLUS
DOCUMENT NUMBER: 141:54263
TITLE: Synthesis of 4,5-dihydro[1,2,4]triazolo[4,3-a]quinoline derivatives
AUTHOR(S): Zhang, Chun-bo
CORPORATE SOURCE: College of Pharmacy, Yanbian University, Yanji, 133000, Peop. Rep. China
SOURCE: Huaxue Shiji (2004), 26(1), 45-46
CODEN: HUSHDR; ISSN: 0258-3283
PUBLISHER: Huagongbu Huaxue Shiji Xinxizhan
DOCUMENT TYPE: Journal
LANGUAGE: Chinese
OTHER SOURCE(S): CASREACT 141:54263
AB Both triazole ring and quinoline ring are basically effective groups in antifungal drug. In order to know the antifungal activities after a triazole ring being added to the quinoline ring, three 4,5-dihydro[1,2,4]triazolo[4,3-a] quinoline derivs. were designed and synthesized. All of their structures were confirmed by MS, IR and 1HNMR.
IT **708983-86-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(synthesis of 4,5-dihydro[1,2,4]triazolo[4,3-a]quinoline derivs.)
RN 708983-86-2 CAPLUS
CN [1,2,4]Triazolo[4,3-a]quinolin-7-amine, 4,5-dihydro-1-(phenylmethyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 7 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:62702 CAPLUS
DOCUMENT NUMBER: 141:157079
TITLE: Synthesis of 4,5-dihydro[1,2,4]triazolo[4,3-a]quinoline derivatives
AUTHOR(S): Zhang, Chunbo; Piao, Huri; Quan, Zheshan
CORPORATE SOURCE: College of Pharmacy, Yanbian University, Yanji, 133000, Peop. Rep. China
SOURCE: Huaxue Yanjiu Yu Yingyong (2002), 14(5), 618-619
CODEN: HYYIFM; ISSN: 1004-1656
PUBLISHER: Huaxue Yanjiu Yu Yingyong Bianjibu
DOCUMENT TYPE: Journal
LANGUAGE: Chinese
OTHER SOURCE(S): CASREACT 141:157079
GI



AB Two 1-R-7-amino-4,5-dihydro[1,2,4]triazolo[4,3-a]quinoline derivs. I (R = Me or benzyl) were designed and synthesized from aniline via amidation with 3-chloropropanoyl chloride, cyclization in the presence of AlCl3 to obtain 3,4-dihydroquinolin-2(1H)-one; nitrifying, hydrogenation and substitution with P2S5 to obtain 7-amino-3,4-dihydroquinolin-2(1H)-thione, further cyclization with RCONHNH2, provide the title products.
IT **708983-86-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of dihydrotriazoloquinoline derivs.)
RN 708983-86-2 CAPLUS
CN [1,2,4]Triazolo[4,3-a]quinolin-7-amine, 4,5-dihydro-1-(phenylmethyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 8 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:991491 CAPLUS
DOCUMENT NUMBER: 140:27832
TITLE: Preparation of triazolyl 11β-hydroxysteroid dehydrogenase-1 inhibitors for the treatment of diabetes, obesity and dyslipidemia
INVENTOR(S): Olson, Steven H.; Balkovec, James M.; Zhu, Yuping
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 144 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003104208	A1	20031218	WO 2003-US17890	20030606
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2488592	AA	20031218	CA 2003-2488592	20030606
AU 2003251410	A1	20031222	AU 2003-251410	20030606
EP 1532122	A1	20050525	EP 2003-757385	20030606
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
CN 1659151	A	20050824	CN 2003-813392	20030606
US 2004048912	A1	20040311	US 2003-457682	20030609
US 6730690	B2	20040504		
US 2004106664	A1	20040603	US 2003-697547	20031030
ZA 2004008772	A	20051118	ZA 2004-8772	20041029
PRIORITY APPLN. INFO.:			US 2002-387385P	P 20020610
			WO 2003-US17890	W 20030606
			US 2003-457682	A3 20030609

OTHER SOURCE(S): MARPAT 140:27832
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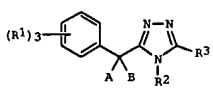

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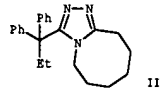
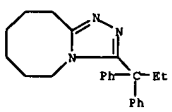


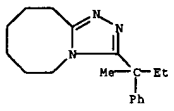
II

L4 ANSWER 8 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
AB Title compds. I [A = halo, alkyl, Ph, etc.; B = H, halo, alkyl, S-alkyl, etc. or A, B = taken together are (un)substituted alkylene; R1 = H, OH, halo, alkyl, alkoxy, aryl, etc.; R2 = alkyl, alkoxy, Ph, etc.; R3 = alkyl, alkenyl, thioalkoxy, aryl, heterocyclyl, etc. or R2-3 = taken together fused 5-6-membered alkyl/aryl ring] are prepared For instance, 2,2-diphenylbutanoic acid is converted to the corresponding hydrazide (DMF, Et3N, TFFH, H2NNH2, 0°, 30 min). 8-Methoxy-2,3,4,5,6,7-hexahydroazocine is then reacted with the intermediate (DMF, 120°, overnight) to give II. Example compds. exhibit IC50 < 500 nM for 11β-hydroxysteroid dehydrogenase-1 (11β-HSD1). I are useful for the treatment of diabetes, such as noninsulin-dependent diabetes (NIDDM), hyperglycemia, obesity, insulin resistance, dylsipidemia, hyperlipidemia, hypertension, Syndrome X and other symptoms associated with NIDDM.
IT **633316-54-8P 633316-55-9P 633316-57-1P**
633316-62-8P 633316-72-0P 633316-73-1P
633701-00-5P 633701-01-6P 633701-02-7P
633701-03-8P 633701-04-9P 633701-05-0P
633701-08-3P 633701-09-4P 633701-10-7P
633701-11-8P 633701-12-9P 633701-13-0P
633701-14-1P 633701-15-2P 633701-16-3P
633701-17-4P 633701-18-5P 633701-19-6P
633701-20-9P 633701-21-0P 633701-22-1P
633701-23-2P 633701-24-3P 633701-25-4P
633701-26-5P 633701-27-6P 633701-28-7P
633701-29-8P 633701-30-1P 633701-32-3P
633701-34-5P 633701-36-7P 633701-37-8P
633701-38-9P 633701-39-0P 633701-40-3P
633701-41-4P 633701-43-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of triazolyl 11β-hydroxysteroid dehydrogenase-1 inhibitors for treatment of diabetes, obesity and dyslipidemia)
RN 633316-54-8 CAPLUS
CN 1,2,4-Triazolo[4,3-a]azocine, 3-(1,1-diphenylpropyl)-5,6,7,8,9,10-hexahydro- (9CI) (CA INDEX NAME)

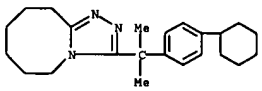


RN 633316-55-9 CAPLUS
CN 1,2,4-Triazolo[4,3-a]azocine, 5,6,7,8,9,10-hexahydro-3-(1-methyl-1-phenylpropyl)- (9CI) (CA INDEX NAME)

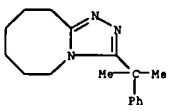
L4 ANSWER 8 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



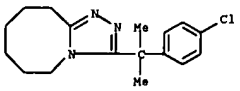
RN 633316-57-1 CAPLUS
CN 1,2,4-Triazolo[4,3-a]azocine, 3-[1-(4-cyclohexylphenyl)-1-methylethyl]-5,6,7,8,9,10-hexahydro- (9CI) (CA INDEX NAME)



RN 633316-62-8 CAPLUS
CN 1,2,4-Triazolo[4,3-a]azocine, 5,6,7,8,9,10-hexahydro-3-(1-methyl-1-phenylethyl)- (9CI) (CA INDEX NAME)

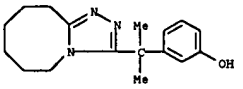


RN 633316-72-0 CAPLUS
CN 1,2,4-Triazolo[4,3-a]azocine, 3-[1-(4-chlorophenyl)-1-methylethyl]-5,6,7,8,9,10-hexahydro- (9CI) (CA INDEX NAME)

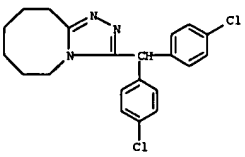


RN 633316-73-1 CAPLUS
CN Phenol, 3-[1-(5,6,7,8,9,10-hexahydro-1,2,4-triazolo[4,3-a]azocin-3-yl)-1-methylethyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 8 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



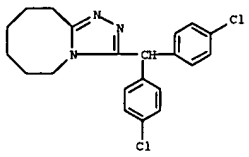
RN 633701-00-5 CAPLUS
CN 1,2,4-Triazolo[4,3-a]azocine, 3-[bis(4-chlorophenyl)methyl]-5,6,7,8,9,10-hexahydro- (9CI) (CA INDEX NAME)



RN 633701-01-6 CAPLUS
CN 1,2,4-Triazolo[4,3-a]azocine, 3-[bis(4-chlorophenyl)methyl]-5,6,7,8,9,10-hexahydro-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 633701-00-5
CMF C21 H21 Cl2 N3



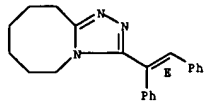
CM 2

CRN 76-05-1
CMF C2 H F3 O2

L4 ANSWER 8 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 633701-02-7 CAPLUS
CN 1,2,4-Triazolo[4,3-a]azocine, 3-[(1E)-1,2-diphenylethenyl]-5,6,7,8,9,10-hexahydro- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

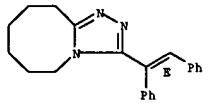


RN 633701-03-8 CAPLUS
CN 1,2,4-Triazolo[4,3-a]azocine, 3-[(1E)-1,2-diphenylethenyl]-5,6,7,8,9,10-hexahydro-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 633701-02-7
CMF C22 H23 N3

Double bond geometry as shown.

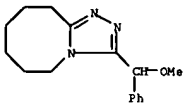


CM 2

CRN 76-05-1
CMF C2 H F3 O2

L4 ANSWER 8 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

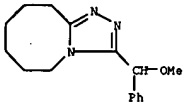
RN 633701-04-9 CAPLUS
CN 1,2,4-Triazolo[4,3-a]azocine, 5,6,7,8,9,10-hexahydro-3-(methoxyphenylmethyl)- (9CI) (CA INDEX NAME)



RN 633701-05-0 CAPLUS
CN 1,2,4-Triazolo[4,3-a]azocine, 5,6,7,8,9,10-hexahydro-3-(methoxyphenylmethyl)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 633701-04-9
CMF C16 H21 N3 O

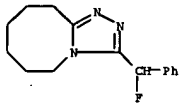


CM 2

CRN 76-05-1
CMF C2 H F3 O2

RN 633701-08-3 CAPLUS
CN 1,2,4-Triazolo[4,3-a]azocine, 3-(fluorophenylmethyl)-5,6,7,8,9,10-hexahydro- (9CI) (CA INDEX NAME)

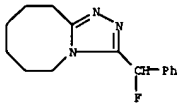
L4 ANSWER 8 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 633701-09-4 CAPLUS
CN 1,2,4-Triazolo[4,3-a]azocine, 3-(fluorophenylmethyl)-5,6,7,8,9,10-hexahydro-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

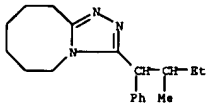
CRN 633701-08-3
CMF C15 H18 F N3



CM 2

CRN 76-05-1
CMF C2 H F3 O2

RN 633701-10-7 CAPLUS
CN 1,2,4-Triazolo[4,3-a]azocine, 5,6,7,8,9,10-hexahydro-3-(2-methyl-1-phenylbutyl)- (9CI) (CA INDEX NAME)

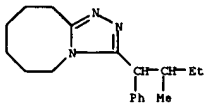


RN 633701-11-8 CAPLUS
CN 1,2,4-Triazolo[4,3-a]azocine, 5,6,7,8,9,10-hexahydro-3-(2-methyl-1-

L4 ANSWER 8 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
phenylbutyl)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

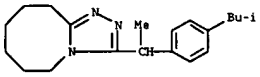
CRN 633701-10-7
CMF C19 H27 N3



CM 2

CRN 76-05-1
CMF C2 H F3 O2

RN 633701-12-9 CAPLUS
CN 1,2,4-Triazolo[4,3-a]azocine, 5,6,7,8,9,10-hexahydro-3-[1-[4-(2-methylpropyl)phenyl]ethyl]- (9CI) (CA INDEX NAME)



RN 633701-13-0 CAPLUS
CN 1,2,4-Triazolo[4,3-a]azocine, 5,6,7,8,9,10-hexahydro-3-[1-[4-(2-methylpropyl)phenyl]ethyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 633701-12-9
CMF C20 H29 N3

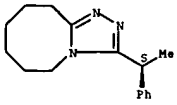
L4 ANSWER 8 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

CM 2

CRN 76-05-1
CMF C2 H F3 O2

RN 633701-16-3 CAPLUS
CN 1,2,4-Triazolo[4,3-a]azocine, 5,6,7,8,9,10-hexahydro-3-[(1S)-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

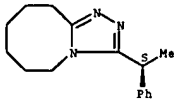


RN 633701-17-4 CAPLUS
CN 1,2,4-Triazolo[4,3-a]azocine, 5,6,7,8,9,10-hexahydro-3-[(1S)-1-phenylethyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 633701-16-3
CMF C16 H21 N3

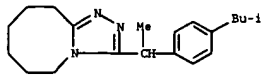
Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2

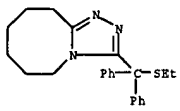
L4 ANSWER 8 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



CM 2

CRN 76-05-1
CMF C2 H F3 O2

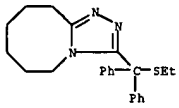
RN 633701-14-1 CAPLUS
CN 1,2,4-Triazolo[4,3-a]azocine, 3-[(ethylthio)diphenylmethyl]-5,6,7,8,9,10-hexahydro- (9CI) (CA INDEX NAME)



RN 633701-15-2 CAPLUS
CN 1,2,4-Triazolo[4,3-a]azocine, 3-[(ethylthio)diphenylmethyl]-5,6,7,8,9,10-hexahydro-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

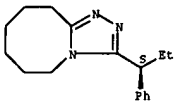
CRN 633701-14-1
CMF C23 H27 N3 S



L4 ANSWER 8 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 633701-18-5 CAPLUS
CN 1,2,4-Triazolo[4,3-a]azocine, 5,6,7,8,9,10-hexahydro-3-[(1S)-1-phenylpropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

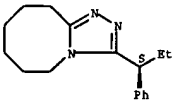


RN 633701-19-6 CAPLUS
CN 1,2,4-Triazolo[4,3-a]azocine, 5,6,7,8,9,10-hexahydro-3-[(1S)-1-phenylpropyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 633701-18-5
CMF C17 H23 N3

Absolute stereochemistry.

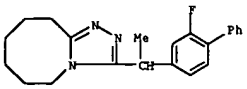


CM 2

CRN 76-05-1
CMF C2 H F3 O2

RN 633701-20-9 CAPLUS
CN 1,2,4-Triazolo[4,3-a]azocine, 3-[1-(2-fluoro[1,1'-biphenyl]-4-yl)ethyl]-

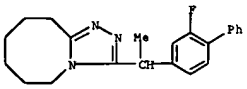
L4 ANSWER 8 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
5,6,7,8,9,10-hexahydro- (9CI) (CA INDEX NAME)



RN 633701-21-0 CAPLUS
CN 1,2,4-Triazolo[4,3-a]azocine, 3-[1-(2-fluoro[1,1'-biphenyl]-4-yl)ethyl]-5,6,7,8,9,10-hexahydro-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 633701-20-9
CMF C22 H24 F N3



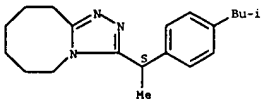
CM 2

CRN 76-05-1
CMF C2 H F3 O2

RN 633701-22-1 CAPLUS
CN 1,2,4-Triazolo[4,3-a]azocine, 3-[(1S)-1-(2-fluoro[1,1'-biphenyl]-4-yl)ethyl]-5,6,7,8,9,10-hexahydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 8 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

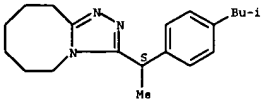


RN 633701-25-4 CAPLUS
CN 1,2,4-Triazolo[4,3-a]azocine, 5,6,7,8,9,10-hexahydro-3-[(1S)-1-[4-(2-methylpropyl)phenyl]ethyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 633701-24-3
CMF C20 H29 N3

Absolute stereochemistry.

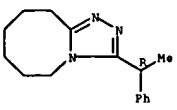


CM 2

CRN 76-05-1
CMF C2 H F3 O2

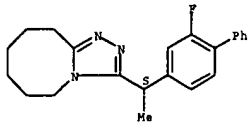
RN 633701-26-5 CAPLUS
CN 1,2,4-Triazolo[4,3-a]azocine, 5,6,7,8,9,10-hexahydro-3-[(1R)-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 633701-27-6 CAPLUS

L4 ANSWER 8 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

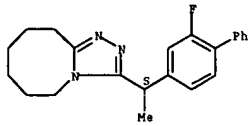


RN 633701-23-2 CAPLUS
CN 1,2,4-Triazolo[4,3-a]azocine, 3-[(1S)-1-(2-fluoro[1,1'-biphenyl]-4-yl)ethyl]-5,6,7,8,9,10-hexahydro-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 633701-22-1
CMF C22 H24 F N3

Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2

RN 633701-24-3 CAPLUS
CN 1,2,4-Triazolo[4,3-a]azocine, 5,6,7,8,9,10-hexahydro-3-[(1S)-1-[4-(2-methylpropyl)phenyl]ethyl]- (9CI) (CA INDEX NAME)

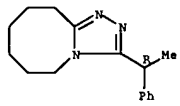
Absolute stereochemistry.

L4 ANSWER 8 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
CN 1,2,4-Triazolo[4,3-a]azocine, 5,6,7,8,9,10-hexahydro-3-[(1R)-1-phenylethyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 633701-26-5
CMF C16 H21 N3

Absolute stereochemistry.

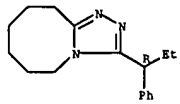


CM 2

CRN 76-05-1
CMF C2 H F3 O2

RN 633701-28-7 CAPLUS
CN 1,2,4-Triazolo[4,3-a]azocine, 5,6,7,8,9,10-hexahydro-3-[(1R)-1-phenylpropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



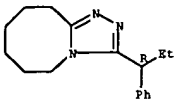
RN 633701-29-8 CAPLUS
CN 1,2,4-Triazolo[4,3-a]azocine, 5,6,7,8,9,10-hexahydro-3-[(1R)-1-phenylpropyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 633701-28-7
CMF C17 H23 N3

Absolute stereochemistry.

L4 ANSWER 8 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



CM 2

CRN 76-05-1
CMF C2 H F3 O2

RN 633701-30-1 CAPLUS
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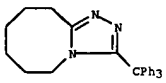
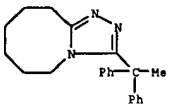
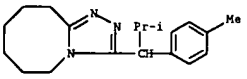


RN 633701-32-3 CAPLUS
CN 1,2,4-Triazolo[4,3-a]azocine, 3-(1,1-diphenylethyl)-5,6,7,8,9,10-hexahydro-(9CI) (CA INDEX NAME)

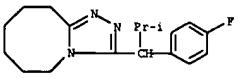


RN 633701-34-5 CAPLUS
CN 1,2,4-Triazolo[4,3-a]azocine, 3-(1,1-diphenylhexyl)-5,6,7,8,9,10-hexahydro-(9CI) (CA INDEX NAME)

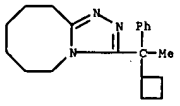
L4 ANSWER 8 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



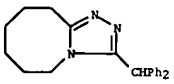
RN 633701-40-3 CAPLUS
CN 1,2,4-Triazolo[4,3-a]azocine, 3-[1-(4-fluorophenyl)-2-methylpropyl]-5,6,7,8,9,10-hexahydro- (9CI) (CA INDEX NAME)



RN 633701-41-4 CAPLUS
CN 1,2,4-Triazolo[4,3-a]azocine, 3-(1-cyclobutyl-1-phenylethyl)-5,6,7,8,9,10-hexahydro- (9CI) (CA INDEX NAME)

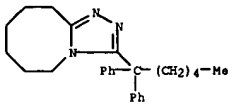


RN 633701-43-6 CAPLUS
CN 1,2,4-Triazolo[4,3-a]azocine, 3-(diphenylmethyl)-5,6,7,8,9,10-hexahydro-(9CI) (CA INDEX NAME)

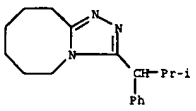


REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

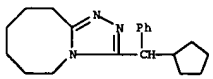
L4 ANSWER 8 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 633701-36-7 CAPLUS
CN 1,2,4-Triazolo[4,3-a]azocine, 5,6,7,8,9,10-hexahydro-3-(2-methyl-1-phenylpropyl)- (9CI) (CA INDEX NAME)

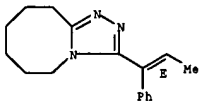


RN 633701-37-8 CAPLUS
CN 1,2,4-Triazolo[4,3-a]azocine, 3-(cyclopentylphenylmethyl)-5,6,7,8,9,10-hexahydro- (9CI) (CA INDEX NAME)



RN 633701-38-9 CAPLUS
CN 1,2,4-Triazolo[4,3-a]azocine, 5,6,7,8,9,10-hexahydro-3-[(1E)-1-phenyl-1-propenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 633701-39-0 CAPLUS
CN 1,2,4-Triazolo[4,3-a]azocine, 5,6,7,8,9,10-hexahydro-3-[2-methyl-1-(4-methylphenyl)propyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 9 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:991490 CAPLUS
DOCUMENT NUMBER: 140:27831
TITLE: Preparation of triazolyl 11β-hydroxysteroid dehydrogenase-1 inhibitors for the treatment of diabetes, obesity and dyslipidemia
INVENTOR(S): Olson, Steven H.; Balkovec, James M.; Zhu, Yuping
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 91 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003104207	A2	20031218	WO 2003-US17898	20030606
WO 2003104207	A3	20040325		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003243420	A1	20031222	AU 2003-243420	20030606
BR 2003011137	A	20050222	BR 2003-11137	20030606
CN 1659151	A	20050824	CN 2003-813392	20030606
JP 2005532357	T2	20051027	JP 2004-511277	20030606
US 2004048912	A1	20040311	US 2003-457682	20030609
US 6730690	B2	20040504		
US 2004106664	A1	20040603	US 2003-697547	20031030
ZA 2004008772	A	20051118	ZA 2004-8772	20041029
NO 2005000102	A	20050210	NO 2005-102	20050107

PRIORITY APPLN. INFO.: US 2002-387385P P 20020610
WO 2003-US17898 W 20030606
US 2003-457682 A3 20030609

OTHER SOURCE(S): MARPAT 140:27831
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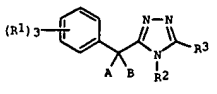

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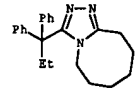


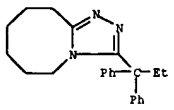
II

AB Title compds. I [A = halo, alkyl, Ph, etc.; B = H, halo, alkyl, S-alkyl, etc. or A, B = taken together are (un)substituted alkylene; R1 = H, OH, halo, alkyl, alkoxy, aryl, etc.; R2 = alkyl, alkoxy, Ph, etc.; R3 = alkyl, alkenyl, thioalkoxy, aryl, heterocyclyl, etc. or R2-3 = taken together

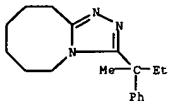
L4 ANSWER 9 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
fused 5-6-membered alkyl/aryl ring] are prepd. For instance, 2,2-diphenylbutanoic acid is converted to the corresponding hydrazide (DMF, Et3N, TFFH, H2NNH2, 0°, 30 min). 8-Methoxy-2,3,4,5,6,7-hexahydroazocine is then reacted with the intermediate (DMF, 120°, overnight) to give II. Example compds. exhibit IC50 < 500 nM for 11β-hydroxysteroid dehydrogenase-1 (11β-HSD1). I are useful for the treatment of diabetes, such as noninsulin-dependent diabetes (NIDDM), hyperglycemia, obesity, insulin resistance, dylsipidemia, hyperlipidemia, hypertension, Syndrome X and other symptoms assocd. with NIDDM.

IT **633316-54-8P 633316-55-9P 633316-57-1P**
633316-62-8P 633316-72-0P 633316-73-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of triazolyl 11β-hydroxysteroid dehydrogenase-1 inhibitors for treatment of diabetes, obesity and dyslipidemia)

RN 633316-54-8 CAPLUS
CN 1,2,4-Triazolo[4,3-a]azocine, 3-(1,1-diphenylpropyl)-5,6,7,8,9,10-hexahydro- (9CI) (CA INDEX NAME)

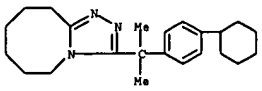


RN 633316-55-9 CAPLUS
CN 1,2,4-Triazolo[4,3-a]azocine, 5,6,7,8,9,10-hexahydro-3-(1-methyl-1-phenylpropyl)- (9CI) (CA INDEX NAME)

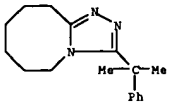


RN 633316-57-1 CAPLUS
CN 1,2,4-Triazolo[4,3-a]azocine, 3-[1-(4-cyclohexylphenyl)-1-methylethyl]-5,6,7,8,9,10-hexahydro- (9CI) (CA INDEX NAME)

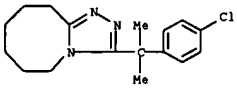
L4 ANSWER 9 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



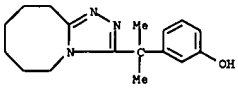
RN 633316-62-8 CAPLUS
CN 1,2,4-Triazolo[4,3-a]azocine, 5,6,7,8,9,10-hexahydro-3-(1-methyl-1-phenylethyl)- (9CI) (CA INDEX NAME)



RN 633316-72-0 CAPLUS
CN 1,2,4-Triazolo[4,3-a]azocine, 3-[1-(4-chlorophenyl)-1-methylethyl]-5,6,7,8,9,10-hexahydro- (9CI) (CA INDEX NAME)



RN 633316-73-1 CAPLUS
CN Phenol, 3-[1-(5,6,7,8,9,10-hexahydro-1,2,4-triazolo[4,3-a]azocin-3-yl)-1-methylethyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 10 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:454118 CAPLUS
DOCUMENT NUMBER: 139:17580
TITLE: Combination of a selective PDE4 inhibitor and an adrenergic β-2 receptor agonist in treatment of inflammatory diseases
INVENTOR(S): Yeadon, Michael
PATENT ASSIGNEE(S): Pfizer Limited, UK; Pfizer Inc.
SOURCE: PCT Int. Appl., 38 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003047578	A1	20030612	WO 2002-IB4922	20021122
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2468676	AA	20030612	CA 2002-2468676	20021122
AU 2002353255	A1	20030617	AU 2002-353255	20021122
EP 1455783	A1	20040915	EP 2002-788275	20021122
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BR 2002014776	A	20041109	BR 2002-14776	20021122
CN 1599609	A	20050323	CN 2002-824393	20021122
JP 2005511657	T2	20050428	JP 2003-548833	20021122
US 2003119862	A1	20030626	US 2002-308962	20021203
US 2004167153	A1	20040826	US 2003-736996	20031216
ZA 2004003905	A	20050622	ZA 2004-3905	20040520
NO 2004002870	A	20040706	NO 2004-2870	20040706
PRIORITY APPLN. INFO.:			GB 2001-29395 A	20011207
			US 2002-352388P P	20020128
			WO 2002-IB4922 W	20021122

OTHER SOURCE(S): MARPAT 139:17580
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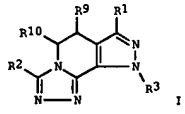
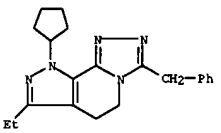


I

L4 ANSWER 10 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
AB The present invention relates to a combination of a selective PDE4 inhibitor, as defined herein, and an adrenergic β-2 receptor agonist for simultaneous, sequential or sep. administration by the inhaled route in the treatment of an obstructive airways or other inflammatory disease. Combined application of β-2 agonists such as formoterol or salmeterol with a PDE-4 inhibitor such as I produces synergistic inhibition of proinflammatory neutrophil function.

IT **185954-19-2**
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(combination of a selective PDE4 inhibitor and an adrenergic β-2 receptor agonist in treatment of inflammatory diseases)

RN 185954-19-2 CAPLUS
CN 5H-Pyrazolo[3,4-c]-1,2,4-triazolo[4,3-a]pyridine, 9-cyclopentyl-7-ethyl-6,9-dihydro-3-(phenylmethyl)- (9CI) (CA INDEX NAME)

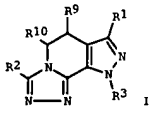


REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 11 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:221514 CAPLUS
DOCUMENT NUMBER: 138:243317
TITLE: Inhalation compositions comprising tricyclic 5,6-dihydro-9H-pyrazolo(3,4-c)-1,2,4-triazolo[4,3-a]pyridines and a tiotropium salt
INVENTOR(S): Humphrey, Michael John; Miller, Paul Robert; Shepherd, Michael Trevor
PATENT ASSIGNEE(S): Pfizer Limited, UK; Pfizer Inc.
SOURCE: PCT Int. Appl., 22 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

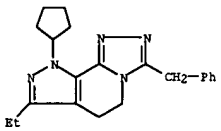
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003022279	A1	20030320	WO 2002-IB3598	20020902
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CN 1553801	A	20041208	CN 2002-817887	20020902
US 2003064034	A1	20030403	US 2002-236228	20020905
US 2003064031	A1	20030403	US 2002-236551	20020905
ZA 2004001002	A	20050207	ZA 2004-1002	20040206
BG 108569	A	20050228	BG 2004-108569	20040209
US 2005232871	A1	20051020	US 2005-152741	20050613
PRIORITY APPLN. INFO.:			GB 2001-22031 A	20010912
			US 2001-325709P P	20010927
			US 2002-236228 A1	20020905

OTHER SOURCE(S): MARPAT 138:243317
GI



I

L4 ANSWER 11 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
AB The present invention relates to an inhaled formulation comprising a combination of a compound selected from a particular class of 5,6-dihydro-9H-pyrazolo[3,4-c]-1,2,4-triazolo[4,3-a]pyridines and a tiotropium salt or solvate thereof, which is capable of delivering the compound as fine, solid particles to the lung. The invention also relates to the use of such a formulation in the treatment of certain diseases such as respiratory diseases. By the use of such formulations, it is possible to eliminate the unwanted cough response associated with the use of the compds. in solution metered dose inhalers, which response can prevent the administration of a therapeutically ED and, in the long term, undermine patient compliance. Dry powder inhaler capsules were prepared containing I and
lactose monohydrate.
IT 185954-19-2
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(inhalation compns. comprising tricyclic 5,6-dihydro-9H-pyrazolo(3,4-c)-1,2,4-triazolo[4,3-a]pyridines and a tiotropium salt)
RN 185954-19-2 CAPLUS
CN 5H-Pyrazolo[3,4-c]-1,2,4-triazolo[4,3-a]pyridine, 9-cyclopentyl-7-ethyl-6,9-dihydro-3-(phenylmethyl)- (9CI) (CA INDEX NAME)



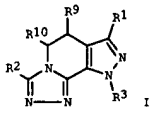
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 12 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:221511 CAPLUS
DOCUMENT NUMBER: 138:243315
TITLE: Inhalation compositions comprising tricyclic 5,6-dihydro-9H-pyrazolo(3,4-c)-1,2,4-triazolo[4,3-a]pyridines
INVENTOR(S): Humphrey, Michael John; Miller, Paul Robert; Shepherd, Michael Trevor
PATENT ASSIGNEE(S): Pfizer Limited, UK; Pfizer Inc.
SOURCE: PCT Int. Appl., 22 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003022275	A1	20030320	WO 2002-IB3599	20020902
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2457717	AA	20030320	CA 2002-2457717	20020902
EE 200400078	A	20040615	EE 2004-78	20020902
EP 1427414	A1	20040616	EP 2002-767763	20020902
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
BR 2002012449	A	20040817	BR 2002-12449	20020902
CN 1553801	A	20041208	CN 2002-817887	20020902
JP 2005505560	T2	20050224	JP 2003-526404	20020902
US 2003064034	A1	20030403	US 2002-236228	20020905
US 2003064031	A1	20030403	US 2002-236551	20020905
ZA 2004001002	A	20050207	ZA 2004-1002	20040206
BG 108569	A	20050228	BG 2004-108569	20040209
NO 2004001011	A	20040310	NO 2004-1011	20040310
US 2005232871	A1	20051020	US 2005-152741	20050613
PRIORITY APPLN. INFO.:			GB 2001-22031 A	20010912
			US 2001-325709P P	20010927
			WO 2002-IB3599 W	20020902
			US 2002-236228 A1	20020905

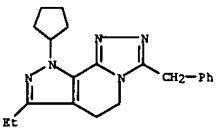
OTHER SOURCE(S): MARPAT 138:243315
GI

L4 ANSWER 12 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



I

AB The present invention relates to an inhaled formulation comprising a compound selected from a particular class of 5,6-dihydro-9H-pyrazolo[3,4-c]-1,2,4-triazolo[4,3-a]pyridines which is capable of delivering the compound as fine, solid particles to the lung and the use of such a formulation in the treatment of certain diseases such as respiratory diseases. By the use of such formulations, it is possible to eliminate the unwanted cough response associated with the use of these compds. in solution metered dose inhalers, which response can prevent the administration of a therapeutically ED and, in the long term, undermine patient compliance. A dry powder inhaler capsule was prepared containing micronized I and lactose monohydrate.
IT 185954-19-2
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(inhalation compns. comprising tricyclic 5,6-dihydro-9H-pyrazolo(3,4-c)-1,2,4-triazolo[4,3-a]pyridines)
RN 185954-19-2 CAPLUS
CN 5H-Pyrazolo[3,4-c]-1,2,4-triazolo[4,3-a]pyridine, 9-cyclopentyl-7-ethyl-6,9-dihydro-3-(phenylmethyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 13 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2002:927247 CAPLUS
DOCUMENT NUMBER: 138:16606
TITLE: Combination of a PDE4 inhibitor and tiotropium for treating obstructive airways and other inflammatory diseases
INVENTOR(S): Yeadon, Michael; Armstrong, Roisin A.; Watson, John W.
PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma KG, Germany
SOURCE: PCT Int. Appl., 105 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

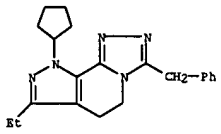
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002096423	A2	20021205	WO 2002-EP5643	20020523
WO 2002096423	A3	20030206		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2448363	AA	20021205	CA 2002-2448363	20020523
AU 2002314102	A1	20021209	AU 2002-314102	20020523
EP 1397135	A2	20040317	EP 2002-740638	20020523
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004530705	T2	20041007	JP 2002-592933	20020523
US 2005107420	A1	20050519	US 2003-715177	20031117
PRIORITY APPLN. INFO.:			US 2001-293555P P	20010525
			US 2001-303845P P	20010709
			WO 2002-EP5643 W	20020523

OTHER SOURCE(S): MARPAT 138:16606

AB The present invention relates to a combination of therapeutic agents useful in the treatment of obstructive airways and other inflammatory diseases comprising a PDEIV inhibitor that is effective in the treatment of the above diseases when administered by inhalation together with an anti-cholinergic agent selected from the group consisting of tiotropium and derivs. A method of treating the obstructive airways and other inflammatory diseases comprises administering by inhalation an effective amount of the above combination of agents and a package containing a composition for insertion into a device capable of simultaneous or sequential delivery of the pharmaceutical composition in the form of an aerosol or a dry powder dispersion to the mammal, where the device is a metered dose inhaler or a dry powder inhaler. The anti-cholinergic agent component may be tiotropium bromide. A package in the form of a pressurized, tetrafluoroethylene-coated aluminum canister for use in a metered dose inhaler is prepared which is sufficient to provide about 200 actuations of the inhaler, each actuation providing about 20 μg each active ingredient. The contents of each canister are as follows: 9-cyclopentyl-5,6-dihydro-7-ethyl-3-(2-thienyl)-9H-pyrazolo[3,4-c]-1,2,4-triazolo[4,3-a]pyridine, tiotropium bromide, dichlorodifluoromethane, dichlorotetrafluoroethane, trichloromonofluoromethane, and soya lecithin.

IT **185954-19-2**
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(combination of PDE4 inhibitor and tiotropium for treating obstructive airways and inflammatory diseases)

RN 185954-19-2 CAPLUS
CN 5H-Pyrazolo[3,4-c]-1,2,4-triazolo[4,3-a]pyridine, 9-cyclopentyl-7-ethyl-6,9-dihydro-3-(phenylmethyl)- (9CI) (CA INDEX NAME)

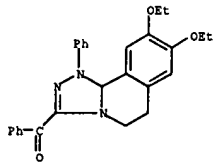


L4 ANSWER 14 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2002:127037 CAPLUS
DOCUMENT NUMBER: 137:6130
TITLE: New routes to fused isoquinolines
AUTHOR(S): Awad, Enas M.; Elwan, Nehal M.; Hassaneen, Hamdi M.; Linden, Anthony; Heimgartner, Heinz
CORPORATE SOURCE: Department of Chemistry, Faculty of Science, University of Cairo, Giza, Egypt
SOURCE: Helvetica Chimica Acta (2002), 85(1), 320-332
CODEN: HCACAV; ISSN: 0018-019X
PUBLISHER: Verlag Helvetica Chimica Acta
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 137:6130

AB Treatment of 6,7-diethoxy-3,4-dihydroisoquinoline and its 1-Me derivative (I) with hydrazonoyl halides in the presence of Et3N in THF under reflux afforded the corresponding 5,6-dihydro-1,2,4-triazolo[3,4-a]isoquinolines in high yield. The products are formed via regioselective 1,3-dipolar cycloaddn. of the intermediate nitrilimines with the isoquinoline C:N bond. Reaction of 6,7-diethoxy-3,4-dihydroisoquinoline-1-acetonitrile with Et α-cyanocinnamates (II) in the presence of piperidine in refluxing MeCN yielded benzo[a]quinolizin-4-ones. Under the same conditions, I and arylidene malononitriles (III) reacted to give benzo[a]quinolizin-4-imines. Instead of II and III, mixts. of an aromatic aldehyde, and Et cyanoacetate or malononitrile, resp., can be used in a one-pot reaction.

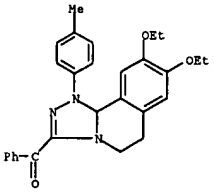
IT **433216-35-4P 433216-36-5P 433216-43-4P 433216-44-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of fused isoquinolines)

RN 433216-35-4 CAPLUS
CN Methanone, (8,9-diethoxy-1,5,6,10b-tetrahydro-1-phenyl-1,2,4-triazolo[3,4-a]isoquinolin-3-yl)phenyl- (9CI) (CA INDEX NAME)

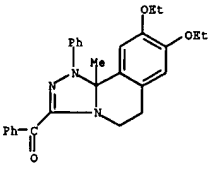


RN 433216-36-5 CAPLUS
CN Methanone, (8,9-diethoxy-1,5,6,10b-tetrahydro-1-(4-methylphenyl)-1,2,4-triazolo[3,4-a]isoquinolin-3-yl]phenyl- (9CI) (CA INDEX NAME)

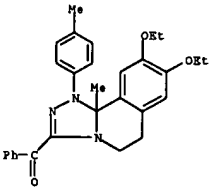
L4 ANSWER 14 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 433216-43-4 CAPLUS
CN Methanone, (8,9-diethoxy-1,5,6,10b-tetrahydro-10b-methyl-1-phenyl-1,2,4-triazolo[3,4-a]isoquinolin-3-yl)phenyl- (9CI) (CA INDEX NAME)



RN 433216-44-5 CAPLUS
CN Methanone, (8,9-diethoxy-1,5,6,10b-tetrahydro-10b-methyl-1-(4-methylphenyl)-1,2,4-triazolo[3,4-a]isoquinolin-3-yl]phenyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 53 THERE ARE 53 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 14 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

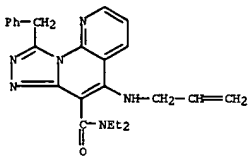
L4 ANSWER 15 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2000:894113 CAPLUS
DOCUMENT NUMBER: 134:187831
TITLE: 1,8-Naphthyridines IV. 9-Substituted N,N-dialkyl-5-(alkylamino or cycloalkylamino) [1,2,4]triazolo[4,3-a][1,8]naphthyridine-6-carboxamides, new compounds with anti-aggressive and potent anti-inflammatory activities
AUTHOR(S): Roma, Giorgio; Di Braccio, Mario; Grossi, Giancarlo; Mattioli, Francesca; Ghia, Marco
CORPORATE SOURCE: Dipartimento di Scienze Farmaceutiche, Universita di Genova, Genoa, 16132, Italy
SOURCE: European Journal of Medicinal Chemistry (2000), 35(11), 1021-1035
CODEN: EJMCA5; ISSN: 0223-5234
PUBLISHER: Editions Scientifiques et Medicales Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 134:187831

AB The title compds. were synthesized through the cyclocondensation of the corresponding N-substituted 4-amino-2-chloro-1,8-naphthyridine-3-carboxamides with the corresponding hydrazides, in order to evaluate their anti-inflammatory and anti-aggressive properties. Several compds. exhibited high anti-inflammatory activity (carrageenin-induced paw edema assay in the rat) along with appreciable anti-aggressive properties (isolation-induced aggressiveness test in mice). With respect to anti-inflammatory activity, the most active compds. produced a 61% edema inhibition at the 25 mg/kg dose, and 50 or 35% inhibition, resp., at the 12.5 mg/kg dose. The structure-activity relationships are discussed.

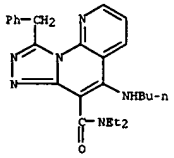
IT **327160-29-2P 327160-31-6P 327160-39-4P 327160-41-8P 327160-44-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and anti-aggressive and anti-inflammatory activities of dialkyl(alkyl- or cycloalkylamino)triazolonaphthyridinecarboxamides)

RN 327160-29-2 CAPLUS
CN [1,2,4]Triazolo[4,3-a][1,8]naphthyridine-6-carboxamide, N,N-diethyl-9-(phenylmethyl)-5-(2-propenylamino)- (9CI) (CA INDEX NAME)

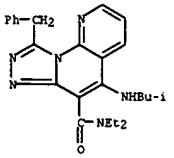


RN 327160-31-6 CAPLUS
CN [1,2,4]Triazolo[4,3-a][1,8]naphthyridine-6-carboxamide,

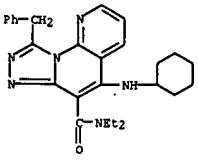
L4 ANSWER 15 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
5-(butylamino)-N,N-diethyl-9-(phenylmethyl)- (9CI) (CA INDEX NAME)



RN 327160-39-4 CAPLUS
CN [1,2,4]Triazolo[4,3-a][1,8]naphthyridine-6-carboxamide, N,N-diethyl-5-[(2-methylpropyl)amino]-9-(phenylmethyl)- (9CI) (CA INDEX NAME)

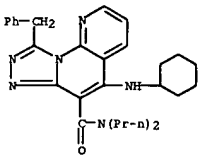


RN 327160-41-8 CAPLUS
CN [1,2,4]Triazolo[4,3-a][1,8]naphthyridine-6-carboxamide, 5-(cyclohexylamino)-N,N-diethyl-9-(phenylmethyl)- (9CI) (CA INDEX NAME)



RN 327160-44-1 CAPLUS
CN [1,2,4]Triazolo[4,3-a][1,8]naphthyridine-6-carboxamide, 5-(cyclohexylamino)-9-(phenylmethyl)-N,N-dipropyl- (9CI) (CA INDEX NAME)

L4 ANSWER 15 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 16 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1997:94069 CAPLUS
DOCUMENT NUMBER: 126:104095
TITLE: Preparation of tricyclic 5,6-dihydro-9H-pyrazolo[3,4-c]-1,2,4-triazolo[4,3-a]pyridines as inhibitors of phosphodiesterase (PDE) Type IV and the production of tumor necrosis factor (TNF)
INVENTOR(S): Duplantier, Allen J.; Cooper, Kelvin
PATENT ASSIGNEE(S): Pfizer Inc., USA; Duplantier, Allen J.; Cooper, Kelvin
SOURCE: PCT Int. Appl., 31 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

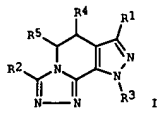
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9639408	A1	19961212	WO 1995-IB429	19950606
W: CA, FI, JP, MX, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2223624	AA	19961212	CA 1995-2223624	19950606
CA 2223624	C	20010220		
EP 837860	A1	19980429	EP 1995-918707	19950606
EP 837860	B1	20020320		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE				
JP 10510242	T2	19981006	JP 1996-511176	19950606
JP 3107827	B2	20001113		
SK 282167	B6	20011106	SK 1996-718	19950606
AT 214700	E	20020415	AT 1995-918707	19950606
PT 837860	T	20020731	PT 1995-918707	19950606
ES 2172583	T3	20021001	ES 1995-918707	19950606
TW 460469	B	20011021	TW 1996-85105271	19960502
PL 184195	B1	20020930	PL 1996-314459	19960527
IL 118485	A1	20000217	IL 1996-118485	19960530
LV 11620	B	19970420	LV 1996-174	19960604
BR 9602627	A	19980901	BR 1996-2627	19960604
NO 9602320	A	19961209	NO 1996-2320	19960605
AU 9654773	A1	19961219	AU 1996-54773	19960605
AU 694871	B2	19980730		
ZA 9604649	A	19971205	ZA 1996-4649	19960605
KR 191972	B1	19990615	KR 1996-20169	19960605
CZ 287251	B6	20001011	CZ 1996-1626	19960605
RU 2161158	C2	20001227	RU 1996-111027	19960605
CN 1142499	A	19970212	CN 1996-107630	19960606
CN 1061044	B	20010124		
RO 115881	B1	20000728	RO 1996-1157	19960606
HR 960268	B1	20021231	HR 1996-960268	19960606
AP 932	A	20010202	AP 1996-849	19960826
W: GM, BW, KE, MW, UG, ZM, ZW				
FI 9704434	A	19971205	FI 1997-4434	19971205
FI 114097	B1	20040813		
US 6004974	A	19991221	US 1998-973590	19980327
KR 225719	B1	19991015	KR 1998-44720	19981024

PRIORITY APPLN. INFO.: CA 1995-2223624 A 19950606
EP 1995-918707 A 19950606

L4 ANSWER 16 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

WO 1995-IB429 A 19950606
HU 1996-1541 A 19960605
KR 1996-20169 A 19960605

OTHER SOURCE(S): MARPAT 126:104095
GI

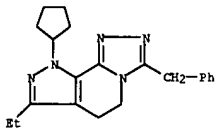


I

AB The title compds. [I; R1 = H, C1-6 alkyl, C1-6 alkoxy, etc.; R2, R3 = H, C1-14 alkyl, C2-14 alkenyl, etc.; R4, R5 = H, C1-6 alkyl, C1-6 alkoxy, etc.], useful in treating an inflammatory condition, asthma, arthritis, bronchitis, chronic obstructive airways disease, psoriasis, allergic rhinitis, dermatitis as well as AIDS, septic shock and other diseases, such as cachexia, were prepared Thus, reaction of 1-cyclopentyl-4,5-dihydro-3-ethyl-7-methylthio-1H-pyrazolo[3,4-c]pyridine with nicotinic acid hydrazide in pyridine afforded I [R1 = Et; R2 = 3-pyridyl; R3 = cyclopentyl; R4, R5 = H]. In general, compds. I are effective at 0.3-5 mg/kg/day.

IT **185954-19-2P 185954-24-9P 185954-25-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of tricyclic 5,6-dihydro-9H-pyrazolo[3,4-c]-1,2,4-triazolo[4,3-a]pyridines as inhibitors of phosphodiesterase (PDE) Type IV and the production of tumor necrosis factor (TNF))

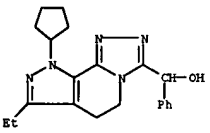
RN 185954-19-2 CAPLUS
CN 5H-Pyrazolo[3,4-c]-1,2,4-triazolo[4,3-a]pyridine, 9-cyclopentyl-7-ethyl-6,9-dihydro-3-(phenylmethyl)- (9CI) (CA INDEX NAME)



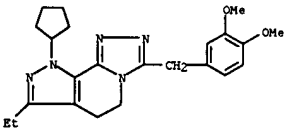
RN 185954-24-9 CAPLUS
CN 5H-Pyrazolo[3,4-c]-1,2,4-triazolo[4,3-a]pyridine-3-methanol,

L4 ANSWER 16 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

9-cyclopentyl-7-ethyl-6,9-dihydro-α-phenyl- (9CI) (CA INDEX NAME)

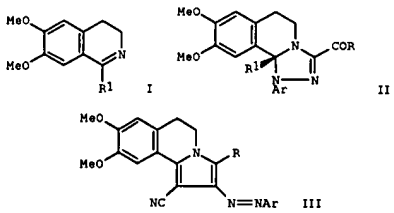


RN 185954-25-0 CAPLUS
CN 5H-Pyrazolo[3,4-c]-1,2,4-triazolo[4,3-a]pyridine, 9-cyclopentyl-3-[(3,4-dimethoxyphenyl)methyl]-7-ethyl-6,9-dihydro- (9CI) (CA INDEX NAME)



L4 ANSWER 17 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1996:154163 CAPLUS
DOCUMENT NUMBER: 124:289374
TITLE: Synthesis of [1,2,4]triazolo[3,4-a]isoquinolines and pyrrolo[2,1-a]isoquinolines using α-keto hydrazonoyl halides
AUTHOR(S): Elwan, Nehal M.; Abdelhadi, Hyam A.; Abdallah, Taysser A.; Hassaneen, Hamdi M.
CORPORATE SOURCE: Faculty Science, University Cairo, Giza, Egypt
SOURCE: Tetrahedron (1996), 52(10), 3451-6
CODEN: TETRAB; ISSN: 0040-4020
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 124:289374
GI



I II

III

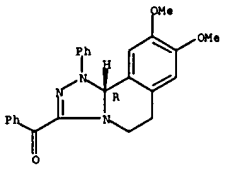
AB Treatment of α-keto hydrazonoyl halides RCOCX:NNHAr (R = Me, Ar = Ph, 4-MeC6H4; R = Ar = Ph; R = 2-naphthyl, Ar = Ph, 4-MeC6H4; R = 2-thienyl, Ar = Ph, 4-MeC6H4; X = Cl, Br) with 3,4-dihydro-6,7-dimethoxyisoquinoline I (R1 = H) and its 1-Me derivative I (R1 = Me) in the presence of triethylamine in THF at reflux afforded the corresponding cycloadducts II (R1 = H, Me), resp. The same halides, RCOCX:NNHAr, react with 1-cyanomethyl-3,4-dihydro-6,7-dimethoxyisoquinoline I (R1 = CH2CN) and afforded pyrrolo[2,1-a]isoquinoline derivs. III in high yield.

IT **175731-39-2P 175731-44-9P 175731-45-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(synthesis of triazoloisoquinolines and pyrroloisoquinolines using α-keto hydrazonoyl halides)

RN 175731-39-2 CAPLUS
CN Methanone, phenyl(1,5,6,10b-tetrahydro-8,9-dimethoxy-1-phenyl-1,2,4-triazolo[3,4-a]isoquinolin-3-yl)-, (R)- (9CI) (CA INDEX NAME)

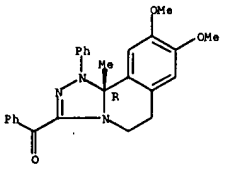
Absolute stereochemistry.

L4 ANSWER 17 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 175731-44-9 CAPLUS
CN Methanone, phenyl(1,5,6,10b-tetrahydro-8,9-dimethoxy-10b-methyl-1-phenyl-1,2,4-triazolo[3,4-a]isoquinolin-3-yl)-, (R)- (9CI) (CA INDEX NAME)

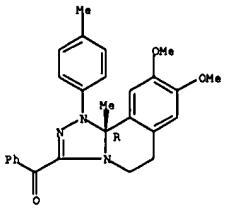
Absolute stereochemistry.



RN 175731-45-0 CAPLUS
CN Methanone, phenyl[1,5,6,10b-tetrahydro-8,9-dimethoxy-10b-methyl-1-(4-methylphenyl)-1,2,4-triazolo[3,4-a]isoquinolin-3-yl]-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 17 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

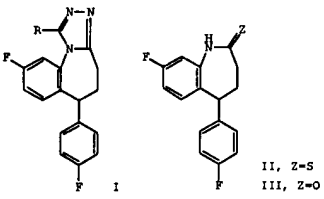


L4 ANSWER 18 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1984:472732 CAPLUS
DOCUMENT NUMBER: 101:72732
TITLE: Derivatives of the 5,6-dihydro-4H-s-triazolo[4,3-a]-1-benzazepine
INVENTOR(S): Vejdelek, Zdenek; Protiva, Miroslav; Matys, Jan
PATENT ASSIGNEE(S): Czech.
SOURCE: Czech., 4 pp.
CODEN: CZXXA9
DOCUMENT TYPE: Patent
LANGUAGE: Czech
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
CS 208509	B	19810915	CS 1980-3988		19800605
PRIORITY APPLN. INFO.:			CS 1980-3988	A	19800605

OTHER SOURCE(S): CASREACT 101:72732
GI



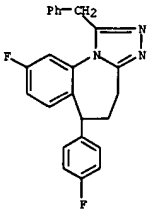
I II, Z=S III, Z=O

AB Seven I (R = alkyl, alkoxyalkyl, alkylthioalkyl, aryl, aralkyl, pyridyl) were prepared in 70-93% yield by refluxing II with RCONHNH2 in BuOH for 24-34 h under N2 and purified by chromatog. on Al2O3. II was prepared by refluxing III 45 min with P2S5 in pyridine under N2. In biol. tests, I (R = Me), I (R = CH2OMe), and I (R = 3-pyridyl) extended thiopental sleep of mice and had spasmolytic activity, I (R = Et) showed antireserpine effect, and I (R = Ph) decreased locomotoric activity of mice and had antispasmic effect.

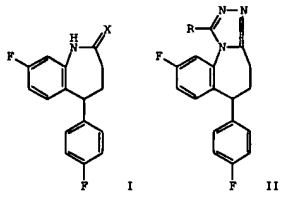
IT 77796-14-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 77796-14-6 CAPLUS
CN 4H-[1,2,4]Triazolo[4,3-a][1]benzazepine, 9-fluoro-6-(4-fluorophenyl)-5,6-dihydro-1-(phenylmethyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 18 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



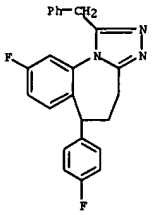
L4 ANSWER 19 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1981:407163 CAPLUS
DOCUMENT NUMBER: 95:7163
TITLE: Benzocycloheptenes and heterocyclic analogs as potential drugs. XVI. Synthesis and pharmacological screening of 1-[2-tert-aminoethyl]-8-fluoro-5-[4-fluorophenyl]-2,3,4,5-tetrahydro-1H-1-benzazepines, their 1-[aminoacetyl] analogs and 1-substituted 9-fluoro-6-[4-fluorophenyl]-5,6-dihydro-4H-s-triazolo[4,3-a]-1-benzazepines
AUTHOR(S): Vejdelek, Zdenek; Svatek, Emil; Holubek, Jiri; Metys, Jan; Bartosova, Marie; Protiva, Miroslav
CORPORATE SOURCE: Res. Inst. Pharm. Biochem., Prague, 130 60/3, Czech.
SOURCE: Collection of Czechoslovak Chemical Communications (1981), 46(1), 148-60
CODEN: CCCCAK; ISSN: 0366-547X
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 95:7163
GI



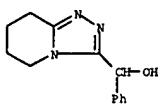
I II

AB 7-Fluoro-4-(4-fluorophenyl)-1-naphthylamine was identified as a by-product in the transformation of 7-fluoro-4-(4-fluorophenyl)-1-tetralone oxime to the lactam I (X = O). Reaction of I (X = H2) with ClCH2COCl gave the N-chloroacetyl derivative which was treated with secondary amines to give the aminoacetyl derivs. Reduction of the latter with LiAlH4 afforded the aminoethyl derivs. Reaction of I (X = O) with P2S5 gave I (X = S) which was treated with acid hydrazides to give II (R = Me, Et, CH2OMe, CH2SMe, Ph, CH2Ph, 3-pyridyl). Some of the compds. exhibited anticonvulsant and central depressant effects at relatively high doses in various tests (LD and ED given).
IT **77796-14-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and pharmacol. activity of)
RN 77796-14-6 CAPLUS
CN 4H-[1,2,4]Triazolo[4,3-a][1]benzazepine, 9-fluoro-6-(4-fluorophenyl)-5,6-dihydro-1-(phenylmethyl)- (9CI) (CA INDEX NAME)

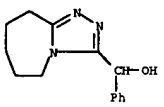
L4 ANSWER 19 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



L4 ANSWER 20 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1977:552143 CAPLUS
DOCUMENT NUMBER: 87:152143
TITLE: Fused triazinone derivatives
AUTHOR(S): Moehrle, Hans; Hemmerling, Hans Joerg
CORPORATE SOURCE: Inst. Pharm., Freie Univ. Berlin, Berlin, Fed. Rep. Ger.
SOURCE: Archiv der Pharmazie (Weinheim, Germany) (1977), 310(7), 588-600
CODEN: ARPMAS; ISSN: 0365-6233
DOCUMENT TYPE: Journal
LANGUAGE: German
OTHER SOURCE(S): CASREACT 87:152143
GI For diagram(s), see printed CA Issue.
AB The Hg(II)-EDTA dehydrogenation of the hydrazide I (n = 1) gave II (n = 1) and its hydrolysis product III, whereas I (n = 2, 3) gave IV and morpholinophenylacetylhydrazine did not undergo dehydrogenation. II (n = 2, 3) were prepared from PhCHClCO2Et and the appropriate lactams.
IT **64256-93-5P 64256-94-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and oxidation of)
RN 64256-93-5 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridine-3-methanol, 5,6,7,8-tetrahydro-α-phenyl- (9CI) (CA INDEX NAME)

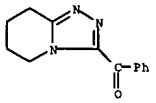


RN 64256-94-6 CAPLUS
CN 5H-1,2,4-Triazolo[4,3-a]azepine-3-methanol, 6,7,8,9-tetrahydro-α-phenyl- (9CI) (CA INDEX NAME)

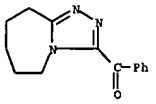


IT **64256-95-7P 64256-96-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 64256-95-7 CAPLUS
CN Methanone, phenyl(5,6,7,8-tetrahydro-1,2,4-triazolo[4,3-a]pyridin-3-yl)- (9CI) (CA INDEX NAME)

L4 ANSWER 20 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 64256-96-8 CAPLUS
CN Methanone, phenyl(6,7,8,9-tetrahydro-5H-1,2,4-triazolo[4,3-a]azepin-3-yl)- (9CI) (CA INDEX NAME)



L4 ANSWER 21 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1975:43212 CAPLUS
DOCUMENT NUMBER: 82:43212
TITLE: Synthesis of psychoactive sulfur analogs of indoles
AUTHOR(S): Neidlein, Richard; Hoehle, Monika
CORPORATE SOURCE: Pharm. Chem. Inst., Univ. Karlsruhe, Karlsruhe, Fed. Rep. Ger.
SOURCE: Pharmazeutische Zeitung (1974), 119(41), 1651-5
CODEN: PHZIAP; ISSN: 0031-7136
DOCUMENT TYPE: Journal
LANGUAGE: German
GI For diagram(s), see printed CA Issue.
AB The thienobenzazepine I (R = OEt) was prepared by oximation of the naphthothiophene II, ring expansion of the oxime to the thienobenzazepinone, quaternization to I (R = OEt.HBF4) and neutralization. I (R = OEt) underwent substitution reactions to form I [R = CH(CN)2, 1-phenyl-3-methyl-5-oxo-2-pyrazolin-4-yl, NHNHSO2C6H4R1-p (R1 = H, Me, OMe), NHNHCOR2 (R2 = 4-pyridyl, CH2Ph, Ph, Me)]. I (R = NHNHCOR2) were dehydrated by acid to the triazolothienobenzazepines.
IT **54662-63-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 54662-63-4 CAPLUS
CN Thieno[4,3,2-ef][1,2,4]triazolo[4,3-a][1]benzazepine, 5-bromo-6,7-dihydro-10-(phenylmethyl)- (9CI) (CA INDEX NAME)